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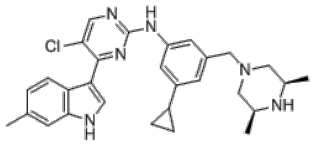
Data Sheet

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	HM43239
Cat. No.	:	PC-20025
CAS No.	:	2569527-64-4
Molecular Formula	:	$C_{29}H_{33}ClN_6$
Molecular Weight	:	501.08
Target	:	FLT3
Solubility	:	10 mM in DMSO



1. Miyoung Lee, et.al. ***Cancer Res*** July 1 2018 (78) (13 Supplement) 804.

Biological Activity

HM43239 (Tuspetinib, HM-43239) is a highly potent, selective **FLT3 kinase** inhibitor with IC50 of 1.1 nM, 1.8 nM and 1.0 nM aginst FLT3 WT, FLT3 ITD and FLT3 D835Y kinases, respectively.
HM43239 showed high selectivity toward FLT3 and AML associated other kinases (e.g. SYK, JAK and TAK1) in 191 kinases biochemically assays.
HM43239 potently inhibited the growth of AML cell lines harboring FLT3 ITD mutation, such as MV4-11 (IC50: 1.3 nM), MOLM-13 (5.1 nM) and MOLM-14 (2.9 nM).
HM43239 effectively inhibited the phosphorylation levels of FLT3 and of downstream kinases related with cell proliferation, induced caspase 3/7-dependent apoptosis in AML cell lines expressing FLT3 ITD mutant.
HM43239 inhibited proliferation and induced apoptosis of leukemic stem cell (LSC) marker-expressing KG1a cells (CD34+/CD38- cells) suggesting that the possibility for targeting LSC.
HM43239 showed the excellent dose proportional antitumor activity in mouse models xenografted with both MV4-11 and MOLM-13 cell line without any significant toxicity.

References